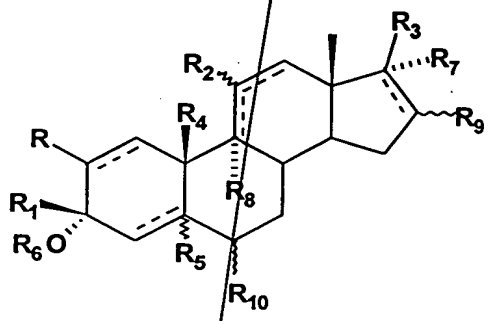
What Is Claimed Is:

1. A compound of the formula:



or a physiologically acceptable 3-ester thereof; wherein

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkyl, substituted alkyl, alkenyl, alkynyl or substituted alkynyl;

R_1 is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocyanoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of hydrogen, hydroxy, alkoxy, alkanoyloxy, carbalkoxy, a keto group or amino group;

R_3 is one of hydrogen, alkoxy, substituted alkoxy, alkenyloxy, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, sulfinyl, sulfonyl, thio, sulfonamido, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkyloxy, an optionally substituted 1,3-dioxolan-4-one of an acetyl group, an optionally substituted 1,3-dioxan-4-one of an acetyl group, an

optionally substituted 1,3-oxathiolan-5-one of an acetyl group, an optionally substituted 1,3-oxathioan-5-one of an acetyl group, -O-C(O)-NR′R″, -C(O)-CH_2-Y-G, -C(O)-CH_2-O-D -C(O)-CH_2-O-E, -C(O)-CH_2-Z-G, -C(O)-CH_2-Y′-Z-G, or -C(O)-CH_2-Y′-Z-A, wherein

R′ and R″ independently represent hydrogen or optionally substituted alkyl, or taken together with the nitrogen to which they are attached form a 3- to 6-membered heterocyclic ring;

Y is one of S, SO or SO_2;

Y′ is one of O, S, SO or SO_2;

Z is one of alkyl, alkenyl or alkynyl;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

E is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

A is one of amino, amido, cyano, thiocyano, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, hydrogen, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;

R_4 is one of hydrogen or lower alkyl,

R_5 is hydrogen, or when a double bond is present between C4 and C5 of the steroid ring system, then R_5 is not present;

R_6 is one of hydrogen, alkanoyl, aminocarbonyl or alkoxycarbonyl;

R_7 is one of hydrogen, halogen, hydroxy, alkoxy, alkanoyloxy or carbalkoxyl;

R_8 is one of hydrogen or halogen;

R_9 is one of hydrogen, halogen, alkyl, alkoxy, arylalkoxy or amino;

R_{10} is one of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, alkanoyloxy, carbalkoxyl, cyano, thiocyano or mercapto; and

the dotted lines indicate that a single or double bond may be present;

provided that:

when R_3 is C_{1-3} alkoxy or C_{1-6} alkenyloxy and R is hydrogen or α-methyl, then R_1 is other than hydrogen; or

when R_3 is C_{1-4}alkoxy(C_{1-4})alkoxy, then R_1 is other than hydrogen or 1-propynyl; or

when R_3 is hydrogen and R_2 is hydrogen, hydroxy, a keto group or an amino group, then R_1 is not hydrogen, alkyl or cyanoalkyl; or

when R_3 is aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, then R_1 is not hydrogen or alkyl; or

when R_3 is -C(O)-CH_2-Y-G, and G is C-attached heteroaryl or optionally substituted aryl, then R_1 is other than hydrogen or alkyl; or

when R_3 is -C(O)-CH_2-O-E, and E is optionally substituted aryl, then R_1 is other than hydrogen; or

when R_3 is -C(O)-CH_2-Y′-Z-G, and Y′ is O, and G is aryl, then R_1 is other than hydrogen; or

when R_3 is -C(O)-CH_2-Y′-Z-G, and Y′ is S, SO, or SO_2, and G is aryl, then R_1 is other than hydrogen or alkyl; or

when R_3 is -C(O)-CH_2-Z-G, then R_1 is other than hydrogen; or

when R_3 is -C(O)-CH_2-Y′-Z-A, and Y′ is O, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, alkoxy, cyano or amino, then R_1 is other than hydrogen; or

when R_3 is -C(O)-CH_2-Y′-Z-A, and Y′ is S, SO, or SO_2, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, or amino, then R_1 is other than hydrogen or alkyl.

2. A compound of claim 1, wherein

the bond between C4 and C5 of the steroid ring system is a single bond;

R is one of hydrogen, halogen, lower alkoxy, alkyl, substituted alkyl, alkynyl or substituted alkynyl;

R_3 is one of hydrogen, alkoxy, substituted alkoxy, alkenyloxy, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkyloxy, -O-C(O)-NR′R″, -C(O)-CH_2-Y-G, -C(O)-CH -O-D_2 -C(O)-CH -O-E_2, -C(O)-CH_2-Y′-Z-G, or -C(O)-CH_2-Y′-Z-A, wherein

R′ and R″ independently represent hydrogen or optionally substituted alkyl, or taken together with the nitrogen to which they are attached form a 5- or 6-membered heterocyclic ring; and

R_5 is hydrogen.

3. A compound of claim 1 or claim 2, with the provisos that:

when R_3 is C_{1-6} alkoxy or C_{1-6} alkenyloxy, then R_1 is other than hydrogen or methyl; or

when R_3 is hydrogen and R_2 is hydrogen, hydroxy, a keto or an amino group, then R_1 is not hydrogen, alkyl or cyanoalkyl; or

when R_3 is -C(O)-CH_2-Y-G, and G is C-attached heteroaryl or optionally substituted aryl, then R_1 is other than hydrogen or alkyl; or

when R_3 is -C(O)-CH_2-O-E, and E is optionally substituted aryl, then R_1 is other than hydrogen or methyl; or

when R_3 is -C(O)-CH_2-Y′-Z-G, and G is optionally substituted aryl, then R_1 is other than hydrogen or alkyl; or

when R_3 is -C(O)-CH_2-Y′-Z-A, and A is hydrogen, halo, carboxyl, alkoxycarbonyl, alkoxy, cyano or amino, then R_1 is other than hydrogen or alkyl.

4. A compound of claim 1, wherein:

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkyl, substituted alkyl, alkenyl, alkynyl or substituted alkynyl;

R_1 is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocyanoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl,

alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R_3 is one of alkoxy, substituted alkoxy, alkenyloxy, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkoxy or -OC(O)NR′R″, wherein R′ and R″ independently represent hydrogen, optionally substituted alkyl, or taken together form a 3- to 6-membered heterocyclic ring;

R_4 is one of hydrogen or methyl;

R_5, R_6, R_7, R_8, R_9 and R_{10} are each hydrogen; and

the dotted lines all represent single bonds;

provided that

when R_3 is C_{1-6} alkoxy or C_{1-6} alkenyloxy and R is hydrogen or α-methyl, then R_1 is other than hydrogen; or

when R_3 is C_{1-4}alkoxy(C_{1-4})alkoxy, then R_1 is other than hydrogen or 1-propynyl.

5. A compound of claim 4, wherein:

R is one of hydrogen, halogen, lower alkoxy, alkyl, substituted alkyl, alkynyl or substituted alkynyl; and

R_3 is one of alkoxy, substituted alkoxy, alkenyloxy, alkynyloxy, optionally substituted aryloxy, optionally substituted arylalkoxy or -OC(O)NR′R″, wherein R′ and R″ independently represent hydrogen, optionally substituted alkyl, or taken together form a 5- or 6-membered heterocyclic ring.

6. A compound of claim 5, wherein R_3 is alkoxy.

7. A compound of claim 6, wherein R_1 is substituted arylethynyl.

8. A compound of claim 7, which is 3α-hydroxy-3β-(4′-nitrophenyl)ethynyl-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(4′-methoxyphenyl)ethynyl-17β-methoxy-5β-androstane; 3α-hydroxy-3β-[2-(3′,4′-dimethoxyphenyl)ethynyl]-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(4′-methylphenyl)ethynyl-17β-methoxy-5β-androstane; 3β-(4′-trifluoromethylphenyl)ethynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(2′-methoxyphenyl)ethynyl-17β-methoxy-5β-androstane; 3β-(4′-dimethylaminophenyl)ethynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(4′-acetylphenyl)ethynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(4′-chlorophenyl)ethynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(4′-acetylphenyl)ethynyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-(4′-carboxyphenylethynyl)-3α-hydroxy-17β-methoxy-5β-androstane ethyl ester; 3α-hydroxy-3β-(4′-acetoxyacetylphenyl)ethynyl-17β-methoxy-5β-androstane or 3β-(4′-cyanophenyl)ethynyl-3α-hydroxy-17β-methoxy-5β-androstane.

9. A compound of claim 7, which is 3β-(4′-acetylphenylethynyl)-3α-hydroxy-19-nor-17β-methoxy-5β-androstane; 3β-(4′-carboxyphenylethynyl)-3α-hydroxy-19-nor-17β-methoxy-5β-androstane ethyl ester; 3β-(4′-carboxyphenylethynyl)-3α-hydroxy-17β-methoxy-5α-androstane ethyl ester; 3β-[4′-(N,N-diethylcarboxamido)phenyl]ethynyl-3α-hydroxy-17β-methoxy-5β-androstane; or 3β-(4′-acetoxyphenylethynyl)-3α-hydroxy-17β-methoxy-5β-androstane.

10. A compound of claim 6, wherein R_1 is one of optionally substituted aryl or optionally substituted arylalkyl.

11. A compound of claim 10, which is 3α-hydroxy-3β-benzyl-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(2′-phenylethyl)-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(3′-phenylpropyl)-17β-methoxy-5β-androstane; 3α-

hydroxy-3β-[2-(3′,4′-dimethoxyphenyl)ethyl]-17β-methoxy-5β-androstane; or 3α-hydroxy-3β-phenyl-17β-methoxy-5β-androstane.

12. A compound of claim 6 wherein R_1 is one of cyanoalkynyl, oxoalkynyl, hydroxyalkynyl, or a physiologically acceptable ester of hydroxyalkynyl.

13. A compound of claim 12, which is 3α-hydroxy-3β-(5′-cyano-1′-pentynyl)-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(4′-cyano-1′-butynyl)-17β-methoxy-5β-androstane;3α-hydroxy-3β-[6′-oxo-1′-heptynyl]-17β-methoxy-5β-androstane;3α-hydroxy-3β-(7′-oxo-1′-octynyl)-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(5′-oxo-1′-hexynyl)-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(5′-oxo-1′-pentynyl)-17β-methoxy-5β-androstane; 3β-(4′(R/S)-hydroxypentynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-[5′-(R/S)-hydroxyhexynyl]-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(5′-hydroxy-1′-pentynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(5′-hydroxy-1′-pentynyl)-3α-hydroxy-17β-methoxy-5β-androstane hemisuccinate sodium salt; 3β-(6′-hydroxy-1′-hexynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(6′-hydroxy-1′-hexynyl)-3α-hydroxy-17β-methoxy-5β-androstane 6′-hemisuccinate sodium salt; 3β-(4′-hydroxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(4′-hydroxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5β-androstane 4′-hemisuccinate sodium salt; 3β-(4′-hydroxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5α-androstane; 3β-(4′-hydroxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5α-androstane 4′-hemisuccinate sodium salt; 3β-(4′-hydroxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5β-19-norandrostane; 3β-(4′-hydroxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5β-19-norandrostane 4′-hemisuccinate sodium salt; 3β-[3′(R/S)-hydroxy-1′-butynyl]-3α-hydroxy-17β-methoxy-5α-androstane; or 3β-(3′-hydroxy-1′-propynyl)-3α-hydroxy-17β-methoxy-5β-androstane.

14. A compound of claim 6, wherein R_1 is one of alkanoyloxyalkynyl, alkynyloxyalkynyl or alkoxyalkynyl.

15. The compound of claim 14, which is 3β-(3′-acetoxy-1′-propynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(4′-acetoxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(4′-acetoxy-1′-butynyl)-3α-hydroxy-17β-methoxy-5α-androstane; 3β-(5′-acetoxy-1′-pentynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(6′-acetoxy-1′-hexynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3α-hydroxy-3β-[3-(2′-propynyloxy)-1-propynyl]-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(3-methoxy-1-propynyl)-17β-methoxy-5β-androstane; or 3α-hydroxy-3β-(3-methoxy-1-propynyl)-17β-methoxy-5α-androstane.

16. A compound of claim 6, wherein R_1 is one of heteroaryloxyalkynyl or heteroarylalkynyl.

17. A compound of claim 16, which is 3α-hydroxy-3β-(2′-thienyl)ethynyl-17β-methoxy-5β-androstane;3α-hydroxy-3β-(2-pyridyl)ethynyl-17β-methoxy-5β-androstane; 3α-hydroxy-3β-[3-(1′H-1,2,3-triazol-1′-yl)-1-propynyl]-17β-methoxy-5β-androstane; 3α-hydroxy-3β-[3-(2′H-1,2,3-triazol-2′-yl)-1-propynyl]-17β-methoxy-5β-androstane;3α-hydroxy-3β-[3-(1′H-pyrazol-1′-yl)-1-propynyl]-17β-methoxy-5β-androstane; 3α-hydroxy-3β-(5′-acetyl-2′-thienyl)ethynyl-17β-methoxy-5β-androstane;3α-hydroxy-3β-(4-pyridyl)ethynyl-17β-methoxy-5β-androstane.

18. A compound of claim 6, wherein R_1 is alkynyl.

19. A compound of claim 18, which is 3β-ethynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-butynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-ethynyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-pentynyl-3α-hydroxy-

17β-methoxy-5β-androstane; 3β-cyclopropylethynyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(but-3′-en-1′-ynyl)-3α-hydroxy-17β-methoxy-5β-androstane; 3β-(3′-methylbut-3′-en-1′-ynyl)-3α-hydroxy-17β-methoxy-5β-androstane;or3β-hexynyl-3α-hydroxy-17β-methoxy-5β-androstane.

20. A compound of claim 6, wherein R_1 is one of alkyl, alkenyl, trihalomethyl, halomethyl, alkoxyalkyl or cyanoalkyl.

21. A compound of claim 20, which is 3β-ethenyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-ethenyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-methyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-butyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-methyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-pentyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-hexyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-trifluoromethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-trifluoromethyl-3α-hydroxy-17β-methoxy-5β-androstane; 3β-fluoromethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-bromomethyl-3α-hydroxy-17β-methoxy-5α-androstane;3β-iodomethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-chloromethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-methoxymethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-ethoxymethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-propoxymethyl-3α-hydroxy-17β-methoxy-5α-androstane; 3β-isopropoxymethyl-3α-hydroxy-17β-methoxy-5α-androstane; or 3β-cyanomethyl-3α-hydroxy-17β-methoxy-5α-androstane.

22. A compound of claim 4, wherein R is alkoxy and R_3 is alkoxy.

23. A compound of claim 22, which is 2β,17β-dimethoxy-3α-hydroxy-5α-androstane; 2β-ethoxy-3α-hydroxy-17β-methoxy-5α-androstane; 2β-propoxy-3α-hydroxy-17β-methoxy-5α-androstane; or 2β-isopropoxy-3α-hydroxy-17β-methoxy-5α-androstane.

24. A compound of claim 1, wherein:

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkynyl or substituted alkynyl;

R_1 is one of hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, dihaloalkyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, cyanoalkyl, thiocyanoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl, acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of hydrogen, alkoxy, a keto group or a dimethylamino group;

R_3 is one of $-C(O)-CH_2-Y-G$, $-C(O)-CH_2-O-D$, $-C(O)-CH_2-O-E$, $-C(O)-CH_2-Z-G$, $-C(O)-CH_2-Y'-Z-G$ or $-C(O)-CH_2-Y'-Z-A$;

Y is one of S, SO or SO_2;

Y′ is one of O, S, SO or SO_2;

Z is one of alkyl, alkenyl or alkynyl;

G is one of C-attached heteroaryl, optionally substituted aryl, a quaternary ammonium salt of a nitrogen containing heteroaryl group or a quaternary ammonium salt of an amino substituted aryl group;

D is C-attached heteroaryl or a quaternary ammonium salt of a nitrogen containing heteroaryl group;

E is optionally substituted aryl or a quaternary ammonium salt of an amino substituted aryl group;

A is one of amino, amido, cyano, thiocyano, azido, nitro, hydroxy, halo, carboxyl, alkoxy, alkoxycarbonyl, alkanoyloxy, hydrogen, sulfate, thiosulfate, sulfonate, alkylthio, alkylsulfinyl, alkylsulfonyl or mercapto;

R_4 is one of hydrogen or methyl;

R_5, R_6, R_7, R_8, R_9 and R_{10} are each hydrogen; and

the dotted lines all represent single bonds.

25. A compound of claim 22, wherein:

R is hydrogen, halogen, lower alkoxy, alkynyl or substituted alkynyl; and

R_3 is one of -C(O)-CH_2-Y-G, -C(O)-CH_2-O-D, -C(O)-CH_2-O-E, -C(O)-CH_2-Y'-Z-G or -C(O)-CH_2-Y'-Z-A.

26. A compound of claim 25, wherein R_3 is one of -C(O)-CH_2-Y-G, -C(O)-CH_2-O-D or -C(O)-CH_2-O-E.

27. A compound of claim 26, which is 3α-hydroxy-3β-(4-hydroxybutynyl)-21-(pyrid-4-ylthio)-5β-pregnan-20-one; 3α-hydroxy-21-(pyrid-4-yloxy)-5β-pregnan-20-one; 3α-hydroxy-2β-propoxy-21-(pyrid-4-ylthio)-5α-pregnan-20-one N-methyl iodide; 3α-hydroxy-21-(pyrid-4-ylthio)-5α-pregnan-20-one N-methyl iodide; 3α-hydroxy-21-(pyrid-4-yl)thio-5β-pregnan-20-one N-methyl iodide; 3α-hydroxy-3β-methoxymethyl-21-(pyrid-4-ylthio)-5α-pregnan-20-one; 21-(4'-dimethylaminophenylthio)-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4'-nitrophenylthio)-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4'-nitrophenylsulfinyl)-5α-pregnan-20-one;3α-hydroxy-3β-methoxymethyl-21-(4'-nitrophenylsulfonyl)-5α-pregnan-20-one; 21-(4'-dimethylaminophenoxy)-3α-hydroxy-3β-methyl-5α-pregnan-20-one; 3α-hydroxy-3β-methyl-21-(4'-nitrophenoxy)-5α-pregnan-20-one; 3α-hydroxy-3β-methyl-21-(4'-trimethylammoniumphenoxy)-5α-pregnan-20-one iodide salt; 21-(4'-fluorophenylthio)-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one;3β-ethynyl-3α-hydroxy-21-(pyrid-4-ylthio)-5α-pregnan-20-one; 3β-(4'-acetylphenyl)ethynyl-3α-hydroxy-21-(pyrid-4-ylthio)-5β-pregnan-20-one; 3α-hydroxy-2β-propoxy-21-(4'-N,N,N-trimethylammoniumphenoxy)-5α-pregnan-20-one iodide salt; 3α-hydroxy-3β-methyl-21-(quinolin-6-yloxy)-5α-pregnan-20-one N-methyl iodide;3α-hydroxy-3β-methyl-21-(quinolin-6-yloxy)-5α-pregnan-20-one; 21-(4'-fluorophenyl)sulfonyl-3α-hydroxy-3β-

methoxymethyl-5α-pregnan-20-one; 3α-hydroxy-3β-methoxymethyl-21-(4′-pyrrolidinophenyl)sulfonyl-5α-pregnan-20-one or 21-(4′-aminophenylthio)-3α-hydroxy-3β-methoxymethyl-5α-pregnan-20-one.

28. A compound of claim 25, wherein R_3 is $-C(O)-CH_2-Y'-Z-A$, and R_1 is other than hydrogen or alkyl.

29. A compound of claim 28, which is 3α-hydroxy-2β-propoxy-21-thiopropanesulfonate-5α-pregnan-20-one sodium salt; 3β-ethynyl-3α-hydroxy-21-(3′-hydroxypropylthio)-5β-pregnan-20-one; 3β-ethynyl-3α-hydroxy-21-(thiopropanesulfate)-5β-pregnan-20-one sodium salt; 3β-ethynyl-3α-hydroxy-21-(2′-hydroxyethylthio)-5β-pregnan-20-one; 3β-ethynyl-3α-hydroxy-21-thioethanesulfate-5β-pregnan-20-one trimethylammonium salt; 3β-ethynyl-3α-hydroxy-21-thiopropanesulfonate-5β-pregnan-20-one sodium salt; 3β-ethynyl-3α-hydroxy-21-(3′-hydroxypropylsulfonyl)-5β-pregnan-20-one; 3α-hydroxy-21-(3′-hydroxypropylthio))-2β-propoxy-5α-pregnan-20-one; 3α-hydroxy-21-(3′-hydroxypropylsulfonyl)-2β-propoxy-5α-pregnan-20-one; 3α-hydroxy-2β-propoxy-21-sulfonylpropanesulfate-5α-pregnan-20-one sodium salt; or 3α-hydroxy-21-(2'-hydroxyethylthio)-5β-pregnan-20-one.

30. The compound of claim 1, wherein:

R is one of hydrogen, amino, thio, sulfinyl, sulfonyl, halogen, lower alkoxy, alkenyl, alkynyl or substituted alkynyl;

R_1 is one of alkenyl, alkynyl, trihaloalkyl, optionally substituted aralkynyl, alkoxyalkyl, aminoalkyl, cyano, thiocyanoalkyl, azidoalkyl, optionally substituted arylalkyl, arylalkenyl, optionally substituted aryl, optionally substituted aralkylalkynyl, alkanoyloxyalkynyl, optionally substituted heteroaryloxyalkynyl, oxoalkynyl or a ketal thereof, cyanoalkynyl, optionally substituted heteroarylalkynyl, hydroxyalkynyl, alkoxyalkynyl, aminoalkynyl,

acylaminoalkynyl, mercaptoalkynyl, hydroxyalkynyl dioic acid hemi-ester or a salt thereof, or alkynyloxyalkynyl;

R_2 is one of alkoxy, a keto group or a dimethylamino group;

R_3 is hydrogen;

R_4 is one of hydrogen or methyl;

R_5, R_6, R_7, R_8, R_9 and R_{10} are each hydrogen; and

the dotted lines all represent single bonds.

31. The compound of claim 30, wherein:

R is hydrogen, halogen, lower alkoxy, alkynyl or substituted alkynyl.

32. The compound of claim 30, wherein R_1 is one of substituted arylethynyl, cyanoalkynyl, oxoalkynyl, hydroxyalkynyl, alkanoyloxyalkynyl, alkynyloxyalknyl, alkoxyalkynyl, heteroaryloxyalkynyl or heteroarylalkynyl.

33. The compound of claim 30, wherein R_1 is one of alkenyl, optionally substituted aryl, optionally substituted arylalkyl, trihalomethyl, halomethyl or alkoxyalkyl.

34. A pharmaceutical composition comprising the compound of claim 1 and a pharmaceutically acceptable carrier.

35. A method of modulating the $GABA_A$ receptor-chloride ionophore complex in an animal subject through binding to the neurosteroid site on said complex, comprising administering to said animal subject an amount effective to modulate said complex of a compound of ~~claim 1~~ Claim 46.

36. A method of treating or preventing stress or anxiety in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 46.

37. A method of alleviating or preventing seizure activity in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 46.

38. A method of alleviating or preventing insomnia in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 46.

39. A method of inducing sleep and maintaining substantially the level of REM sleep that is found in normal sleep, wherein substantial rebound insomnia is not induced, comprising administering an effective amount of a compound of claim 46.

40. A method of alleviating or preventing PMS or PND in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 46.

41. A method of treating or preventing mood disorders in an animal subject, comprising administering to said animal subject in need of such treatment an effective amount of a compound of claim 46.

42. The method of claim 41, wherein said mood disorder is depression.

43. A method of inducing anesthesia in an animal subject, comprising administering to said animal subject an effective amount of a compound of claim 46.

44. The method of any one of claims 35-43, wherein said compound is a pharmaceutically acceptable 3-ester or 3-diester of an acid selected from the

group consisting of acetic, propionic, maleic, fumaric, ascorbic, pimelic, succinic, glutaric, bismethylenesalicylic, methanesulfonic, ethane-di-sulfonic, oxalic, tartaric, salicylic, citric, gluconic, itaconic, glycolic, *p*-aminobenzoic, aspartic, glutamic, γ-amino-butyric, α-(2-hydroxyethylamino)-propionic, glycine and other α-amino acids, phosphoric, sulfuric, glucuronic, and 1-methyl-1,4-dihydronicotinic.

45. The method of any one of claims 35-43, wherein said effective amount is from about 1 mg to about 100 mg per dosage unit when administered intravenously and from about 100 mg to about 500 mg per dosage unit when administered non-intravenously.

add A1

add B5

add C5

add D4 add E4